

# ***STIC Search Report***

## ***Biotech-Chem Library***

**STIC Database Tracking Number: 123473**

**TO: Tamthom Troung  
Location: rem5b19/5c18  
Art Unit: 1624  
Thursday, June 03, 2004**

**Case Serial Number: 10/725657**

**From: Noble Jarrell  
Location: Biotech-Chem Library  
Rem 1B71  
Phone: 272-2556**

**Noble.jarrell@uspto.gov**

### **Search Notes**

=> d his

FILE 'REGISTRY' ENTERED AT 10:38:23 ON 03 JUN 2004

L1 STR  
L2 1 SEA FILE=REGISTRY SSS FUL L1

FILE 'HCAPLUS' ENTERED AT 10:39:01 ON 03 JUN 2004

E LIND P/AU  
L3 112 E3,E15,E17  
E NOREEN R/AU  
L4 13 E3-4  
E MORIN J/AU  
L5 87 E3,E11,E45,E49-51  
E TERNANSKY R/AU  
L6 53 E4-8  
L7 54 MEDIVIR?/CS,PA  
E HIV/CT  
E E3+ALL  
E E2+ALL  
L8 38135 HUMAN IMMUNODEFICIENCY VIRUS+NT/CT  
E AIDS (DISEASE)/CT  
E E3+ALL  
L9 14500 "AIDS (DISEASE)" +OLD/CT  
L10 43 L3-7 AND L8-9

FILE 'HCAPLUS' ENTERED AT 10:43:37 ON 03 JUN 2004

L11 TRA L10 1- RN : 3215 TERMS

FILE 'REGISTRY' ENTERED AT 10:43:40 ON 03 JUN 2004

L12 3215 SEA L11  
L13 5 L12 AND S/ELS AND O/ELS AND C3/ES  
E NCSC2/ES  
L14 309 NCSC2/ES AND L12  
L15 134 L14 AND O/ELS  
L16 1 L15 AND C3/ES  
L17 20 L12 AND C3/ES AND S/ELS  
L18 4 L17 AND (C13H13N3S2 OR C13H12FN3S2 OR C14H15N3OS2)/MF  
L19 16 L17 NOT L18

=> b reg

FILE 'REGISTRY' ENTERED AT 10:52:41 ON 03 JUN 2004

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STRUCTURE FILE UPDATES: 2 JUN 2004 HIGHEST RN 688737-01-1

DICTIONARY FILE UPDATES: 2 JUN 2004 HIGHEST RN 688737-01-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more

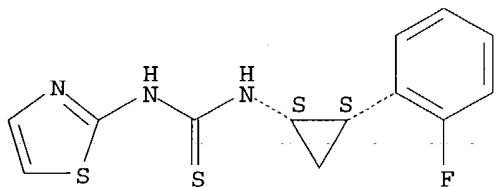
information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d ide l18 tot

L18 ANSWER 1 OF 4 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 149488-45-9 REGISTRY  
 CN Thiourea, N-[2-(2-fluorophenyl)cyclopropyl]-N'-2-thiazolyl-, cis- (9CI)  
 (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Thiourea, N-[2-(2-fluorophenyl)cyclopropyl]-N'-2-thiazolyl-, cis-(+)-  
 FS STEREOSEARCH  
 MF C13 H12 F N3 S2  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA CAPLUS document type: Patent  
 RL.P Roles from patents: PREP (Preparation)

Relative stereochemistry.

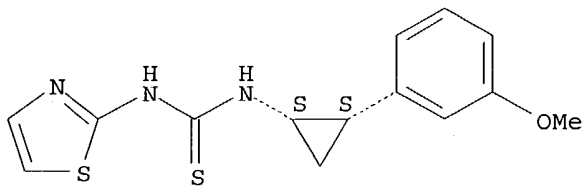


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L18 ANSWER 2 OF 4 REGISTRY COPYRIGHT 2004 ACS on STN  
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 (CA INDEX NAME)  
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 FS STEREOSEARCH  
 MF C14 H15 N3 O S2  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA CAPLUS document type: Patent  
 RL.P Roles from patents: PREP (Preparation)

Relative stereochemistry.

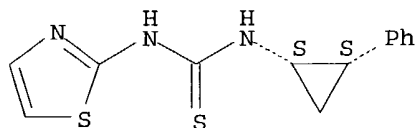


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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L18 ANSWER 3 OF 4 REGISTRY COPYRIGHT 2004 ACS on STN  
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OTHER CA INDEX NAMES:  
CN Thiourea, N-(2-phenylcyclopropyl)-N'-2-thiazolyl-, cis-(±)-  
FS STEREOSEARCH  
MF C13 H13 N3 S2  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: PREP (Preparation)

Relative stereochemistry.

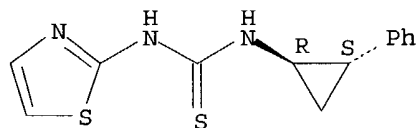


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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L18 ANSWER 4 OF 4 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 149486-17-9 REGISTRY  
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FS STEREOSEARCH  
MF C13 H13 N3 S2  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: PREP (Preparation)

Relative stereochemistry.



**\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\***

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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FILE 'HOME' ENTERED AT 10:53:09 ON 03 JUN 2004

=> b reg

FILE 'REGISTRY' ENTERED AT 10:55:06 ON 03 JUN 2004

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STRUCTURE FILE UPDATES: 2 JUN 2004 HIGHEST RN 688737-01-1

DICTIONARY FILE UPDATES: 2 JUN 2004 HIGHEST RN 688737-01-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

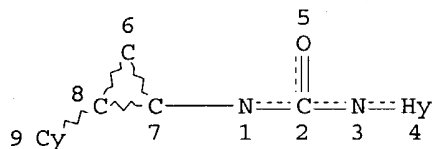
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d que stat l2

L1 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS M1 N M1 S AT 4

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE

L2 1 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 277360 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.13

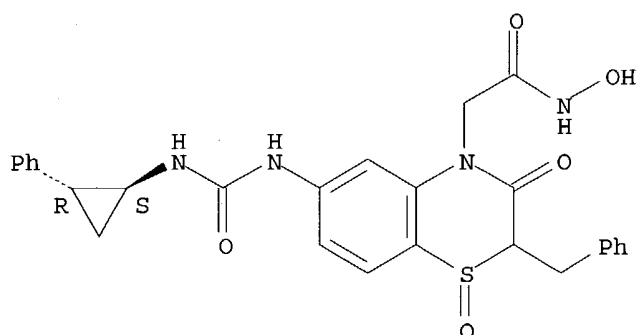
=> d sca l2

L2 1 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN

IN 4H-1,4-Benzothiazine-4-acetamide, 2,3-dihydro-N-hydroxy-3-oxo-6-  
[[[(1R,2S)-2-phenylcyclopropyl]amino]carbonyl]amino]-2-(phenylmethyl)-,  
1-oxide, rel- (9CI)

MF C27 H26 N4 O5 S

Relative stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

=> d his

FILE 'REGISTRY' ENTERED AT 10:38:23 ON 03 JUN 2004

L1 STR  
 L2 1 SEA FILE=REGISTRY SSS FUL L1

FILE 'HCAPLUS' ENTERED AT 10:39:01 ON 03 JUN 2004

L3 112 E3,E15,E17  
 E NOREEN R/AU  
 L4 13 E3-4  
 E MORIN J/AU  
 L5 87 E3,E11,E45,E49-51  
 E TERNANSKY R/AU  
 L6 53 E4-8  
 L7 54 MEDIVIR?/CS,PA  
 E HIV/CT  
 E E3+ALL  
 E E2+ALL  
 L8 38135 HUMAN IMMUNODEFICIENCY VIRUS+NT/CT  
 E AIDS (DISEASE)/CT  
 E E3+ALL  
 L9 14500 "AIDS (DISEASE)" +OLD/CT  
 L10 43 L3-7 AND L8-9

FILE 'REGISTRY' ENTERED AT 10:43:32 ON 03 JUN 2004

FILE 'HCAPLUS' ENTERED AT 10:43:37 ON 03 JUN 2004

L11 TRA L10 1- RN : 3215 TERMS

FILE 'REGISTRY' ENTERED AT 10:43:40 ON 03 JUN 2004

L12 3215 SEA L11  
 L13 5 L12 AND S/ELS AND O/ELS AND C3/ES  
 E NCSC2/ES  
 L14 309 NCSC2/ES AND L12  
 L15 134 L14 AND O/ELS  
 L16 1 L15 AND C3/ES  
 L17 20 L12 AND C3/ES AND S/ELS

L18 4 L17 AND (C13H13N3S2 OR C13H12FN3S2 OR C14H15N3OS2)/MF  
 L19 16 L17 NOT L18

FILE 'BEILSTEIN' ENTERED AT 10:55:53 ON 03 JUN 2004  
 L20 0 L2 FULL  
 L21 STR L1

FILE 'MARPAT' ENTERED AT 10:56:24 ON 03 JUN 2004  
 L22 2 L21 SAM

FILE 'BEILSTEIN' ENTERED AT 11:25:23 ON 03 JUN 2004  
 L23 STR L21

FILE 'REGISTRY' ENTERED AT 11:26:39 ON 03 JUN 2004

FILE 'ZREGISTRY' ENTERED AT 11:26:42 ON 03 JUN 2004  
 L24 STR L23

FILE 'MARPAT' ENTERED AT 11:28:18 ON 03 JUN 2004  
 L25 0 L24 SAM

FILE 'REGISTRY' ENTERED AT 11:29:45 ON 03 JUN 2004  
 L26 STR L24

FILE 'MARPAT' ENTERED AT 11:30:11 ON 03 JUN 2004  
 L27 2 L26 SAM  
 L28 12 L26 FULL  
 SAVE TEMP L28 TRU657MAR/A  
 L29 9 L28/COM

=> b marpat

FILE 'MARPAT' ENTERED AT 11:43:20 ON 03 JUN 2004  
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 COPYRIGHT (C) 2004 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 140 ISS 21) (20040521/ED)

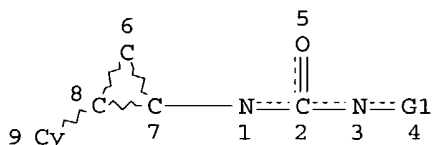
MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES  
 (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6727389 27 APR 2004  
 DE 10351214 08 APR 2004  
 EP 1406339 07 APR 2004  
 JP 2004123716 22 APR 2004  
 WO 2004035062 29 APR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> d stat que l29

L26 STR



Hy @10 Hy @11



## NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 9 10 11

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E3 C E1 N E1 S AT 10

ECOUNT IS E2 C E2 N E1 S AT 11

## GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 11

## STEREO ATTRIBUTES: NONE

L28 12 SEA FILE=MARPAT SSS FUL L26

L29 9 SEA FILE=MARPAT ABB=ON PLU=ON L28/COM

=&gt; d bib abs qhit l29 tot

L29 ANSWER 1 OF 9 MARPAT COPYRIGHT 2004 ACS on STN

AN 139:164542 MARPAT

TI Preparation of cycloalkyl inhibitors of potassium channel function for preventing/treating arrhythmia and IKur-associated conditions

IN Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin; Gross, Michael F.; Beaudoin, Serge

PA Bristol-Myers Squibb Company, USA; Icagen, Inc.

SO PCT Int. Appl., 312 pp.

CODEN: PIXXD2

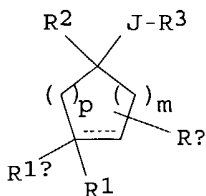
DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003063797	A2	20030807	WO 2003-US3170	20030131
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004072880	A1	20040415	US 2003-356158	20030131
PRAI US 2002-353884P		20020201		

GI



AB Claimed are novel cycloalkyl compds. (shown as I; variables defined below; e.g. cis- and trans-N-(4-hydroxy-1-thiophen-2-ylcyclohexylmethyl)-2-methoxybenzamide and trans-N-[[4-[N'-cyano-N''-ethyl-N-(furan-2-

ylmethyl)guanidino]-1-phenylcyclohexyl)methyl]-2-methoxybenzamide) useful as inhibitors of K channel function (especially inhibitors of the Kv1 subfamily of voltage gated K<sup>+</sup> channels, especially inhibitors Kv1.5 which was linked to the ultra-rapidly activating delayed rectifier K<sup>+</sup> current I<sub>Kur</sub>; no data), methods of using such compds. in the prevention and treatment of arrhythmia and I<sub>Kur</sub>-associated conditions, and pharmaceutical compns.

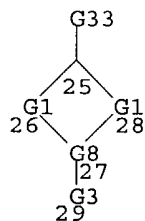
containing

such compds. For I: dashed line = an optional double bond, provided that R1a is absent when a double bond is present; m and p = 0-3; R1 = H, NR8C(:W)NR6R7 (W = NR8a2, NCO2R8a2, NC(O)R8a2, NCN, NSO2R8a2), NR8SO2NR6R7, etc.; R1a = H, RX; or R1 and R1a together form oxo; or R1 and R1a together with the C atom to which they are attached combine to form an (un)substituted spiro-fused heterocyclo group; or R1 and R1a together combine to form :CR8R9. R2 is heteroaryl, (heteroaryl)alkyl, aryl, (aryl)alkyl, heterocyclo, (heterocyclo)alkyl, alkyl, alkenyl or cycloalkyl; J is a bond, C1-4 alkylene or C1-4 alkenylene; R3 = R5 (R5 = NR6aR7a, heteroaryl, (heteroaryl)alkyl, aryl, arylalkyl, alkyl, etc.), OR5, C(:Z1)R5, OC(:Z1)R5, C(:Z1)OR5, NR8a1C(:Z1)R5, etc.; RX is one or more optional substituents, attached to any available ring carbon atom; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, >600 example preps. are included.

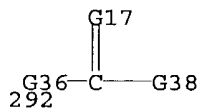
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G4—G5

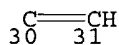
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G4 = 25



G5 = 292



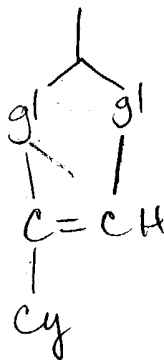
G8 = 30-26 31-28 30-29



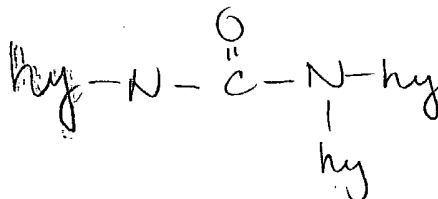
G13 = heteroaryl<EC (-20) A (0-) N (0-) O (0-) S (0) OTHERQ>

G17 = O

G36 = 236



N-hy  
hy-N-hy



N—G13  
236

G38 = 225

G13—N—G13  
225

MPL: claim 1  
NTE: additional derivatization also claimed

L29 ANSWER 2 OF 9 MARPAT COPYRIGHT 2004 ACS on STN  
AN 137:217244 MARPAT  
TI Preparation of amino acid-containing non-nucleoside reverse transcriptase inhibitors  
IN Zhou, Xiao-xiong; Johansson, Nils-Gunnar; Wahling, Horst; Sund, Christian; Salvador, Lourdes; Lindstrom, Stefan; Wallberg, Hans; Sahlberg, Christer  
PA Medivir AB, Swed.  
SO U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of Appl. No. PCT/SE99/01403.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 6

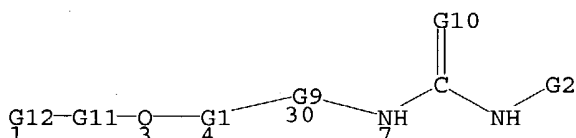
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002128301	A1	20020912	US 2001-927254	20010810
ZA 9807267	A	19990215	ZA 1998-7267	19980813
WO 9909031	A1	19990225	WO 1998-SE1467	19980814
W:				
AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1123935	A2	20010816	EP 2001-103370	19980814
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ZA 9901148	A	19990812	ZA 1999-1148	19990212
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WO 9941275	A1	19990819	WO 1999-SE194	19990215
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 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
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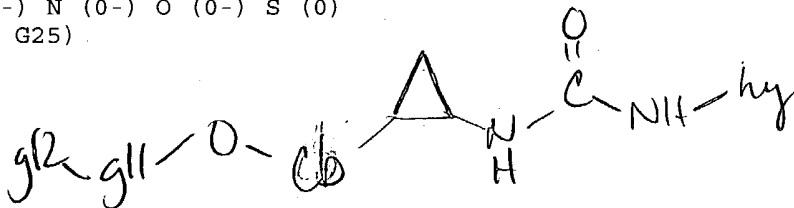
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 SE 1998-469 19980216  
 SE 1998-1216 19980403  
 WO 1998-SE1467 19980414  
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 SE 1998-3438 19981007  
 US 1999-249317 19990212  
 WO 1999-SE194 19990215  
 WO 1999-SE1403 19990818  
 SE 1997-2957 19970815  
 SE 1997-4147 19971112  
 EP 1998-939041 19980814  
 NZ 1998-502837 19980814

AB Non-nucleoside reverse transcriptase inhibitors Rx-L\*-O-Ar1-  
 CHR4CHR5NHC(:Z)NH-Ar2 [Ar1 is an unsatd., optionally substituted, mono- or  
 bicyclic ring structure comprising 0-3 hetero atoms selected from S, O and  
 N; Ar2 is an aromatic, optionally substituted, monocyclic ring structure  
 comprising at least one nitrogen hetero atom and 0-2 further hetero atoms  
 selected from S, O and N; R4, R5 = H, (cyclo)alkyl, alkenyl, alkynyl,  
 alkoxy, alkanoyloxy, alkylthio, amino, carboxy, carbamoyl, cyano, halo,  
 hydroxy, aminomethyl, hydroxymethyl, carboxymethyl, haloalkylthio, nitro;  
 or R4 and R5 join to form a 3-6 membered, optionally substituted ring  
 structure; Z = O or S; Rx is the residue of a natural or unnatural amino  
 acid; L\* is a linker moiety which is ether, carbonate or ester] or their  
 pharmaceutically-acceptable salts were prepared as anti-HIV agents with  
 favorable pharmacokinetic properties. Thus, (1S,2S)-N-[cis-2-(6-fluoro-2-  
 (L-valyloxy)methoxycarbonyloxy-3-propionylphenyl)cyclopropyl]-N'-[2-(5-  
 cyanopyridyl)]urea was prepared and showed 70% bioavailability of released  
 drug at a dose of 0.027 mmol/kg after 6 h in a rat bioavailability assay  
 model.

## MSTR 1



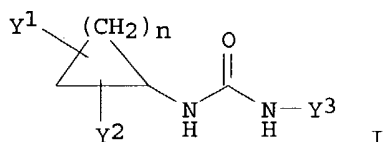
G1 = Cb<BD (0-) D, RC (1-2)> (SO (1-) G26)  
 G2 = heteroaryl<EC (1-3) Q (1-) N (0-) O (0-) S (0)  
 OTHERQ, RC (1)> (SO (1-) G25)  
 G9 = 59-4 61-7



G10 = O  
 MPL: claim 1  
 NTE: and pharmaceutically acceptable salts

L29 ANSWER 3 OF 9 MARPAT COPYRIGHT 2004 ACS on STN  
 AN 133:296434 MARPAT  
 TI Preparation of N-cycloalkylurea derivatives as ACAT inhibitors  
 IN Tanaka, Masashi; Muraoka, Masazane; Ohashi, Naohito  
 PA Sumitomo Pharmaceuticals Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 21 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

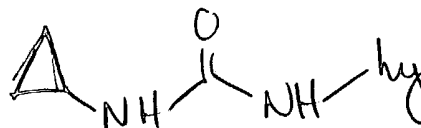
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000290246	A2	20001017	JP 1999-98713	19990406
PRAI	JP 1999-98713		19990406		
GI					



AB The title compds. [I; Y1, Y2 = (un)substituted cycloalkyl, aryl, or heterocyclyl, wherein Y1 and Y2 can be substituted on the same carbon of cycloalkyl ring; Y3 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkylalkyl, cycloalkenylalkyl, aryl, aralkyl, heteroarylalkyl, or heterocyclyl; n = 1,2] or pharmaceutically acceptable salts thereof are prepared Also claimed are acyl CoA:cholesterol acyltransferase (ACAT) inhibitors and remedies for hyperlipidemia and arteriosclerosis containing I or pharmaceutically acceptable salts thereof as the active ingredients. Thus, di-Ph phosphoryl azide and Et3N were added to a solution of 2,2-diphenylcyclopropanoic acid in DMF and stirred at room temperature for 20 min and at 50° for 2 h, followed by adding dropwise 2,6-diisopropylaniline at 80°, and the resulting mixture was stirred at 80° for 7 h to give N-(2,2-diphenylcyclopropyl)-N'-(2,6-diisopropylphenyl)urea (II). II showed IC50 of 17 nM against rabbit liver ACAT.

**MSTR 1**

G1—NH—C(O)—NH—G3



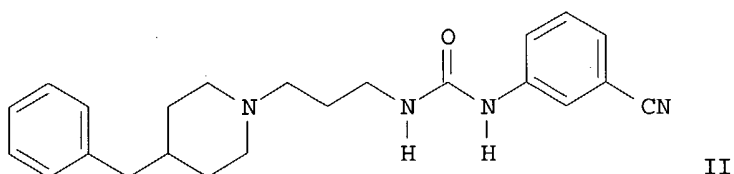
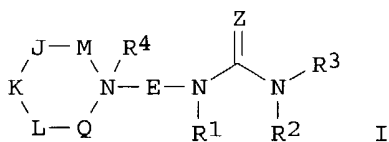
G1 = cyclopropyl (SR (2) G2)  
 G2 = cycloalkyl<(3-12)> (SO)  
 G3 = Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ,  
 RS (0-) E5 (0-) E6 (0) OTHER> (SO)  
 MPL: claim 1  
 NTE: or prodrugs or pharmaceutically acceptable salts

L29 ANSWER 4 OF 9 MARPAT COPYRIGHT 2004 ACS on STN  
 AN 133:43443 MARPAT

TI Preparation of N-ureidoalkyl-piperidines as modulators of chemokine  
 receptor activity  
 IN Ko, Soo S.; Delucca, George V.; Duncia, John V.; Kim, Ui Tae; Santella,  
 Joseph B. Iii; Wacker, Dean A. K.  
 PA Du Pont Pharmaceuticals Company, USA  
 SO PCT Int. Appl., 388 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 9

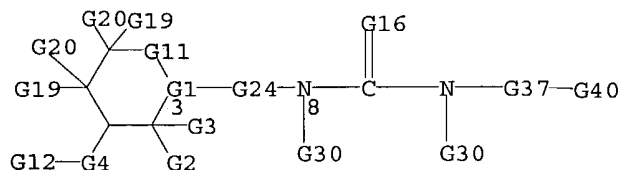
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000035452	A1	20000622	WO 1999-US30334	19991217
	W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP	1161240	A1	20011212	EP 1999-963107	19991217
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US	6331541	B1	20011218	US 1999-465288	19991217
TR	200101859	T2	20011221	TR 2001-200101859	19991217
BR	9917038	A	20020402	BR 1999-17038	19991217
JP	2002532427	T2	20021002	JP 2000-587772	19991217
NZ	511394	A	20030725	NZ 1999-511394	19991217
AU	770042	B2	20040212	AU 2000-19406	19991217
ZA	2001003756	A	20020509	ZA 2001-3756	20010509
NO	2001002977	A	20010820	NO 2001-2977	20010615
US	2003013741	A1	20030116	US 2001-7172	20011023
US	6521592	B2	20030218		
US	2004002515	A1	20040101	US 2002-279416	20021024
US	2004006107	A1	20040108	US 2002-279231	20021024
PRAI	US 1998-112717P		19981218		
	US 1999-161221P		19991022		
	US 1999-161137P		19991022		
	US 1999-161184P		19991022		
	US 1999-161222P		19991022		
	US 1999-465287		19991217		
	US 1999-465288		19991217		
	US 1999-465948		19991217		
	WO 1999-US30334		19991217		

GI

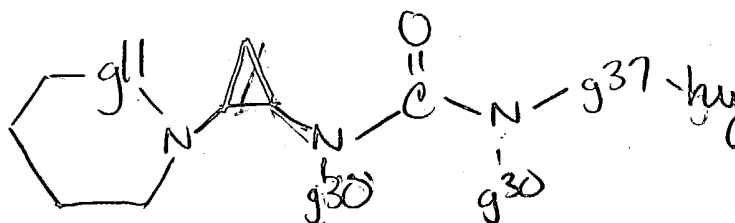


AB The title compds. [I; M = absent, CH<sub>2</sub>, CH(CH<sub>2</sub>Ph), etc.; Q = CH<sub>2</sub>, CH(CH<sub>2</sub>Ph), etc.; J, K, L = CH<sub>2</sub>, CH(CH<sub>2</sub>Ph), etc.; Z = O, S; E = (CH<sub>2</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>3</sub>, CH<sub>2</sub>CH(OH)CH(Ph), etc.; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, alkenyl, etc.; R<sub>2</sub> and R<sub>3</sub> may join to form (un)substituted 5-7 membered ring; R<sub>3</sub> = (un)substituted Ph, naphthyl, adamantyl, etc.; R<sub>4</sub> = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

# MSTR 1



G1 = N  
G16 = O  
G24 = 242-3 241-8



G40 = Hy<EC (5-10) A (1-4) Q (0-) N (0-) O (0-) S (0)  
OTHERQ> (SO)  
DER: or pharmaceutically acceptable salts  
MPL: claim 1  
NTE: additional ring, oxo, aza, and ketal formation also claimed  
NTE: substitution is restricted  
STE: or stereoisomers

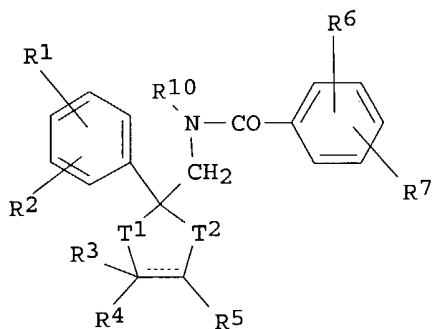
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 5 OF 9 MARPAT COPYRIGHT 2004 ACS on STN

AN 132:334285 MARPAT  
 TI Preparation of phenyloxoazapropylcycloalkane derivatives and analogs as  
 potassium channel inhibitors  
 IN Baker, Robert K.; Chee, Jennifer; Bao, Jianming; Garcia, Maria L.;  
 Kaczorowski, Gregory J.; Kotliar, Andrew; Kayser, Frank; Liu, Chou  
 Juitsai; Miao, Shouwu; Rupprecht, Kathleen M.; Parsons, William H.;  
 Schmalhofer, William A.; Claiborne, Christopher F.; Liverton, Nigel;  
 Claremon, David A.; Thompson, Wayne J.  
 PA Merck & Co., Inc., USA  
 SO PCT Int. Appl., 243 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000025770	A1	20000511	WO 1999-US24949	19991026
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6632836	B1	20031014	US 1999-422143	19991021
	EP 1143965	A1	20011017	EP 1999-955159	19991026
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	JP 2002528490	T2	20020903	JP 2000-579211	19991026
	AU 764477	B2	20030821	AU 2000-11331	19991026
PRAI	US 1998-106416P		19981030		
	WO 1999-US24949		19991026		

GI



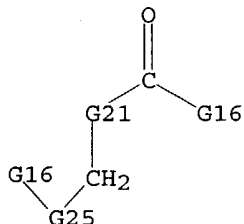
I

AB The title compds. I [T1 = (CH<sub>2</sub>)<sub>x</sub>; T2 = (CH<sub>2</sub>)<sub>y</sub>; dotted line indicates a single bond or double bond; x, y = 0 - 2; R1, R2, R6, R7 = halo, hydroxy, alkyl, etc.; R3, R4 = H, cyano, nitro, etc.; further details on R3 and R4 are given; R5 = H, halo, hydroxy, etc.; further details on R3 and R5 are given; R10 = H, etc.], useful as potassium channel inhibitors (no data), are prepared I are useful in the treatment of autoimmune disorders, cardiac



arrhythmias (no data), etc. Formulations are given.

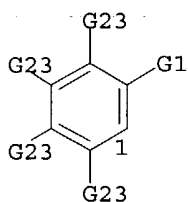
# MSTR 1



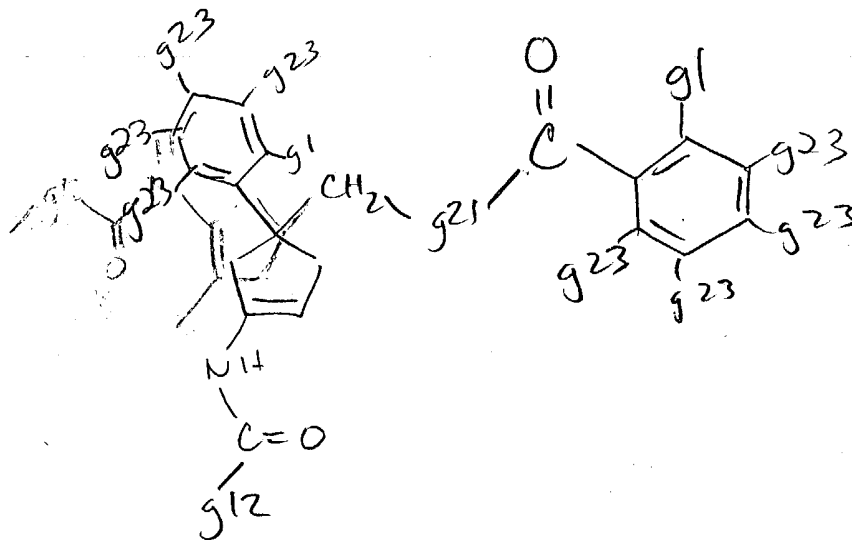
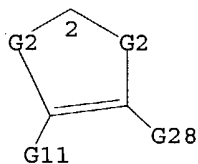
G6 = NH  
 G7 = Hy<EC (3-7) A (1-3) Q (0-) N (0-) O (0-) S (0)  
 OTHERQ, AR (0), RC (1), RS (1) M3 (1) X7> (SO)  
 G11 = 390

G27-C(O)-G12  
 390

G16 = 1



G25 = 2



G27 = NH  
 DER: or pharmaceutically acceptable salts, crystal forms, or hydrates  
 MPL: claim 1  
 NTE: additional ring formation also claimed

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 6 OF 9 MARPAT COPYRIGHT 2004 ACS on STN  
 AN 132:278997 MARPAT  
 TI Preparation of N-(arylcycloalkyl)alkanamides as melatoninerbic agents  
 IN Langlois, Michel; Mathe-Allainmat, Monique; Lefas-Le, Gall Marie;  
 Bennejean, Caroline; Renard, Pierre; Delagrang, Philippe  
 PA Adir et Compagnie, Fr.; Les Laboratories Servier  
 SO Eur. Pat. Appl., 30 pp.

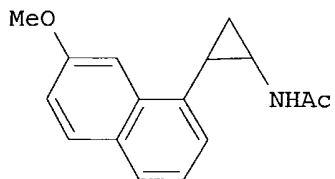
CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

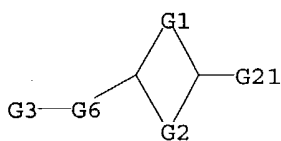
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 994102	A1	20000419	EP 1999-402495	19991012
	EP 994102	B1	20030319		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	FR 2784375	A1	20000414	FR 1998-12738	19981012
	FR 2784375	B1	20001124		
	CA 2284446	C	20031202	CA 1999-2284446	19991005
	JP 2000136173	A2	20000516	JP 1999-285756	19991006
	JP 3361490	B2	20030107		
	JP 2003089639	A2	20030328	JP 2002-196850	19991006
	NO 9904947	A	20000413	NO 1999-4947	19991011
	BR 9904951	A	20000912	BR 1999-4951	19991011
	MX 9909283	A	20001031	MX 1999-9283	19991011
	NZ 500193	A	20010126	NZ 1999-500193	19991011
	ZA 9906439	A	20000412	ZA 1999-6439	19991012
	AU 9953621	A1	20000413	AU 1999-53621	19991012
	AU 755115	B2	20021205		
	CN 1250771	A	20000419	CN 1999-121093	19991012
	KR 2000029002	A	20000525	KR 1999-44005	19991012
	AT 234808	E	20030415	AT 1999-402495	19991012
	US 6583319	B1	20030624	US 1999-415648	19991012
	PT 994102	T	20030630	PT 1999-402495	19991012
	ES 2195529	T3	20031201	ES 1999-402495	19991012
PRAI	FR 1998-12738		19981012		
	JP 1999-285756		19991006		
GI					



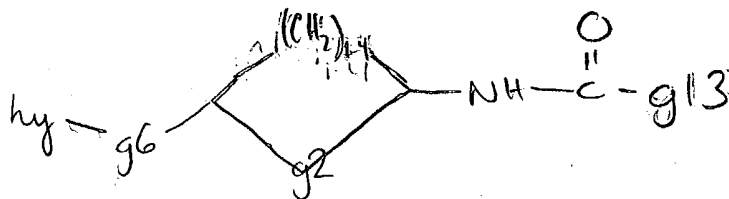
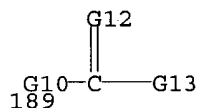
II

AB RAG1ZG2B [I; A = (hetero)arylene; B = NR1COR2, NR1CONR2R3, CONR1R2, etc.; G1,G2 = bond or (un)substituted alkylene; R = H, halo, alkyl, alkoxy, etc.; R1-R3 = H, alkyl, aryl(alkyl), etc.; Z = cyclopropylene, cyclobutylene, cyclopentylene, cyclohexylene] were prepared. Thus, 7-methoxy-1-naphthaldehyde was condensed with (EtO)2P(O)CH2CO2Et and the product converted in 2 steps to MeOACH:CHCONMeOMe (A = 7,1-naphthylene) which was cyclopropanated and the hydrolyzed product subjected to Curtius reaction to give, after N-acetylation, title compound II. Data for biol. activity of I were given.

MSTR 1



G1 = (1-4) CH<sub>2</sub>  
 G3 = Hy<EC (1-2) Q (0-) O (0-) S (0) OTHERQ, AR (1-),  
 BD (6-) N, RC (2-), RS (2-) E6> (SO (1-) G4)  
 G10 = NH  
 G11 = heteroaryl<EC (5-10) A (1-3) Q (0-) N (0-) O (0-)  
 S (0) OTHERQ, RC (1-)> (SO)  
 G12 = O  
 G15 = NH  
 G21 = 189



DER: and pharmaceutically acceptable acid or base addition salts  
 MPL: claim 1  
 NTE: also incorporates claim 18, formula VI  
 NTE: additional substitution also claimed  
 NTE: substitution is restricted  
 STE: and enantiomers and diastereomers

L29 ANSWER 7 OF 9 MARPAT COPYRIGHT 2004 ACS on STN

AN 131:31954 MARPAT

TI Preparation of quinoxalinecarboxamides and analogs as metabotropic glutamate receptor antagonists

IN Van Wagenen, Bradford C.; Moe, Scott T.; Smith, Daryl L.; Sheehan, Susan M.; Shcherbakova, Irina; Travato, Richard; Walton, Ruth; Barmore, Robert; Delmar, Eric G.; Stormann, Thomas M.

PA NPS Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

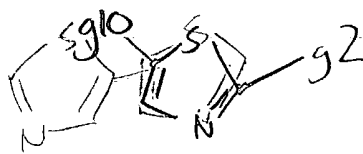
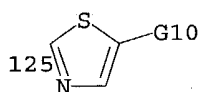
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9926927	A2	19990603	WO 1998-US24833	19981120
	WO 9926927	A3	19991021		
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2311131	AA	19990603	CA 1998-2311131	19981120
	AU 9915317	A1	19990615	AU 1999-15317	19981120
	AU 771358	B2	20040318		

EP 1037878 A2 20000927 EP 1998-959535 19981120  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO  
 JP 2001524468 T2 20011204 JP 2000-522085 19981120  
 NZ 505207 A 20031031 NZ 1998-505207 19981120  
 US 6429207 B1 20020806 US 2000-573347 20000519  
 US 2003013715 A1 20030116 US 2002-211523 20020805  
 PRAI US 1997-66758P 19971121  
 WO 1998-US24833 19981120  
 US 1999-137272P 19990602  
 US 2000-573347 20000519  
 AB RZR1 [R = (ar)alkyl, (alkyl)cycloalkyl; R1 = (hetero)aryl(alkyl); Z = (CO-  
 and heteroatom-interrupted) (CH<sub>2</sub>)<sub>2-6</sub>, -alkenylene, -alkynylene] were prepared  
 as metabotropic glutamate receptor antagonists (no data). Thus,  
 2-quinoxalinecarboxylic acid was amidated by 2-adamantanamine to give  
 N-(2-adamantyl)-2-quinoxalinecarboxamide.

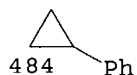
## MSTR 1

G2—G1  
2

G1 = 125



G3 = 484



G4 = NHCONH

DER: or pharmaceutically acceptable salts

MPL: claim 1

L29 ANSWER 8 OF 9 MARPAT COPYRIGHT 2004 ACS on STN

AN 123:198634 MARPAT

TI Preparation of N-[aryl(cyclo)alkyl]-N'-pyridylureas and analogs as HIV  
reverse transcriptase inhibitorsIN Lind, Peter Thomas; Noreen, Rolf; Morin, John Michael; Ternansky, Robert  
John

PA Medivir AB, Swed.

SO PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DT Patent

LA English

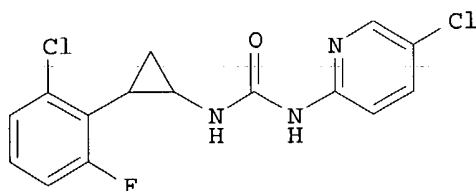
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9506034	A1	19950302	WO 1994-US9406	19940824
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RU, SD, SE, SK, UA, US, UZ, VN  
 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,  
 BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

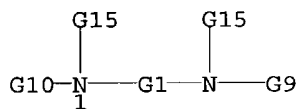
CA 2168447	AA	19950302	CA 1994-2168447	19940824
AU 9477153	A1	19950321	AU 1994-77153	19940824
AU 687440	B2	19980226		
EP 706514	A1	19960417	EP 1994-927932	19940824
EP 706514	B1	19981118		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09502702	T2	19970318	JP 1994-507689	19940824
AT 173466	E	19981215	AT 1994-927932	19940824
ES 2123156	T3	19990101	ES 1994-927932	19940824
NZ 273741	A	20000623	NZ 1994-273741	19940824
US 5849769	A	19981215	US 1996-601030	19960503
US 6376492	B1	20020423	US 2000-567857	20000509
US 2002132794	A1	20020919	US 2002-76163	20020213
PRAI US 1993-110956		19930824		
WO 1994-US9406		19940824		
US 1996-601030		19960503		
US 1998-114935		19980714		
US 2000-567857		20000509		

GI

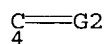


AB R2R4NZNR1R3 [R1 = (heterocyclic) organic ring residue; R2 = CR7R9CR5R6R8; R3, R4 = H, OH, alk(en)yl, CONH2, etc.; R5 = groups cited for R1, NH2, OH, alkoxy, etc.; R6-R9 = H, (cyclo)alkyl, halo, NH2, CO2H, etc.; Z = CO, C(:NH), C(:CH2), SO2, etc.] were prepared. Thus, cis-2-(2-chloro-6-fluorophenyl)cyclopropylisocyanate (preparation from 2-chloro-6-fluorobenzaldehyde given) was condensed with 2-amino-5-chloropyridine to give title compound cis-I which had IC50 of 0.0004 µg/mL against HIV reverse transcriptase in vitro.

## MSTR 1

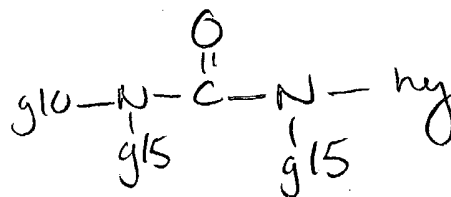


G1 = 4



G2 = O

G9 = Hy<EC (3-8) A (1-4) Q (0-) N (0-) O (0-) S (0)



OTHERQ, RC (1), RS (1) X8> (SO)  
G25 = 205-1 206-204

206  
205

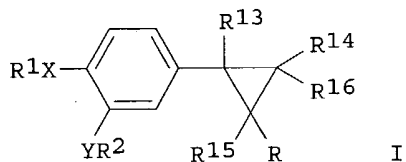
G26 = 208

o-C<sub>6</sub>H<sub>4</sub>G27  
208

MPL: claim 1  
NTE: additional ring formation is allowed

L29 ANSWER 9 OF 9 MARPAT COPYRIGHT 2004 ACS on STN  
AN 122:160259 MARPAT  
TI Preparation of phenylcyclopropane-derivative cyclic-AMP phosphodiesterase  
and tumor necrosis factor inhibitors  
IN Fenton, Garry; Mason, Jonathan Stephen; Palfreyman, Malcom Norman;  
Ratcliffe, Andrew James  
PA Rhone-Poulenc Rorer Ltd., UK  
SO PCT Int. Appl., 69 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

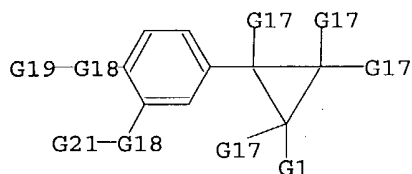
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9427947	A1	19941208	WO 1994-GB1189	19940601
	W:		AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN		
	RW:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG		
	AU 9468035	A1	19941220	AU 1994-68035	19940601
PRAI	GB 1993-11282		19930601		
	WO 1994-GB1189		19940601		
GI					



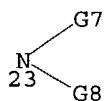
AB The title compds. [I; R = (un)substituted alkyl, (un)substituted acyl, (un)substituted CO<sub>2</sub>H, (un)substituted cyclobutenedionylamino, etc.; R<sub>1</sub> = (un)substituted alkyl; R<sub>2</sub> = alkyl, haloalkyl, alkenyl, haloalkenyl, (un)substituted hydrocarbonyl, etc.; R<sub>13</sub>-R<sub>16</sub> = H, alkyl; X, Y = O, S] [e.g., (±)-trans-2-(3-cyclopentyloxy-4-methoxyphenyl)-N-methoxy-N-methylcyclopropanecarboxamide], useful as cyclic-AMP phosphodiesterase (no data) and tumor necrosis factor inhibitors (no data) for the treatment of malaria (no data), AIDS (no data), diabetes mellitus (no data), psoriasis

(no data), etc. (no data), are prepared and I-containing formulations presented.

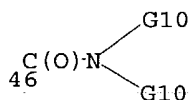
**MSTR 1**



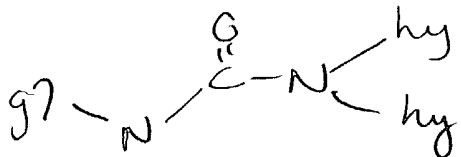
G1 = 23



G8 = 46



G10 = Hy<EC (5-10) A (1-) Q (0-) O (0-) S (0-) N (0)  
 OTHERQ> (SO (1-) G3)  
 DER: or pharmaceutically acceptable salts  
 MPL: claim 1



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